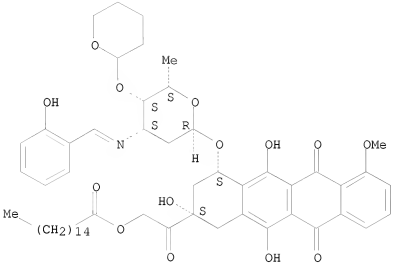
L9 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:656475 CAPLUS <<LOGINID::20070716>>
DOCUMENT NUMBER: 125:301490
TITLE: Preparation of fat-soluble derivatives of anthracycline glycoside as anticancer agents
INVENTOR(S): Udagawa, Shuko; Ando, Takashi; Fukuyasu, Shunkai; Azedaka, Masayuki; Nakabayashi, Akira
PATENT ASSIGNEE(S): Meiji Seika Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08217787	A	19960827	JP 1995-26370	19950215
PRIORITY APPLN. INFO.:			JP 1995-26370	19950215

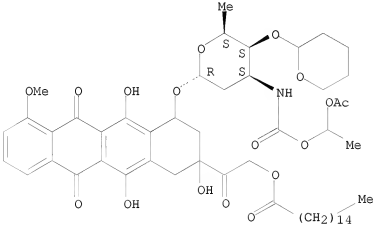
OTHER SOURCE(S): MARPAT 125:301490
AB The title 14-O-(aliphatic acyl)adriamycin derivs. (I; R1 = C12-24 linear or branched aliphatic acyl; R2 = Q, NHCO2CHR5OR4, Q1; wherein R4, R6 = C2-24 linear or branched aliphatic acyl; R5 = H, Me; X = S, O; R3 = H, tetrahydropyranyl, C2-24 linear or branched aliphatic acyl) are prepared Thus, 3 g 4'-O-(tetrahydropyranyl)adriamycin was condensed with 60 μL salicylaldehyde in CHCl3 and MeOH at room temperature for 1 h to give 3.5 g I (R1 = H, R3 = 2-tetrahydropyranyl, R2 = Q), which was acylated by palmitic anhydride in the presence of 4-dimethylaminopyridine in CH2Cl2 at room temperature for 5.5 h to give 3.5 g I (R1 = hexadecanoyl, R3 = 2-tetrahydropyranyl, R2 = Q). The latter compound at 12.5 mg/kg i.v on day 5 and 12 after tumor transplant prolonged >176.2% the life span of mice transplanted with Meth A fibrosarcoma cells vs. >9.4% for 4'-O-(tetrahydropyranyl)adriamycin.
IT 182748-16-9P 182748-18-1P 182748-19-2P
182748-21-6P 182748-24-9P 182748-29-4P
182748-32-9P 182748-35-2P 182748-38-5P
182748-40-9P 182748-42-1P 182748-44-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-substituted O-(aliphatic acyl)adriamycin liposol. derivs. as
anticancer agents)
RN 182748-16-9 CAPLUS
CN Hexadecanoic acid, 2-[1,2,3,4,6,11-hexahydro-2,5,12-trihydroxy-7-methoxy-6,11-dioxo-4-[[2,3,6-trideoxy-3-[[(2-hydroxyphenyl)methylene]amino]-4-O-(tetrahydro-2H-pyran-2-yl)-α-L-lyxo-hexopyranosyl]oxy]-2-naphthacenyl]-2-oxoethyl ester, [2S-(2α,4α)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry unknown.



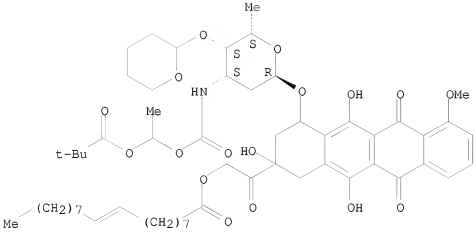
RN 182748-18-1 CAPLUS
CN Hexadecanoic acid, 2-[4-[[3-[[[1-(acetyloxy)ethoxy]carbonyl]amino]-2,3,6-trideoxy-4-O-(tetrahydro-2H-pyran-2-yl)-α-L-lyxo-hexopyranosyl]oxy]-1,2,3,4,6,11-hexahydro-2,5,12-trihydroxy-7-methoxy-6,11-dioxo-2-naphthacenyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



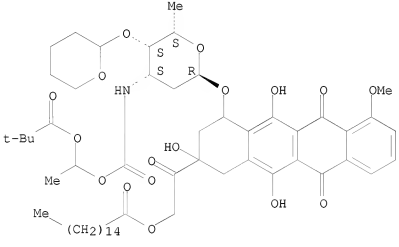
RN 182748-19-2 CAPLUS
CN 9-Octadecenoic acid, 2-[1,2,3,4,6,11-hexahydro-2,5,12-trihydroxy-7-methoxy-6,11-dioxo-4-[[2,3,6-trideoxy-3-[[[1-(2,2-dimethyl-1-oxopropoxy)ethoxy]carbonyl]amino]-4-O-(tetrahydro-2H-pyran-2-yl)-α-L-lyxo-hexopyranosyl]oxy]-2-naphthacenyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



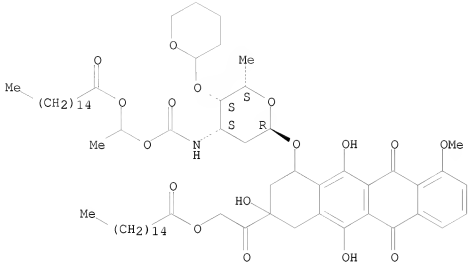
RN 182748-21-6 CAPLUS
CN Hexadecanoic acid, 2-[1,2,3,4,6,11-hexahydro-2,5,12-trihydroxy-7-methoxy-6,11-dioxo-4-[[2,3,6-trideoxy-3-[[[1-(2,2-dimethyl-1-oxopropoxy)ethoxy]carbonyl]amino]-4-O-(tetrahydro-2H-pyran-2-yl)-α-L-lyxo-hexopyranosyl]oxy]-2-naphthacenyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



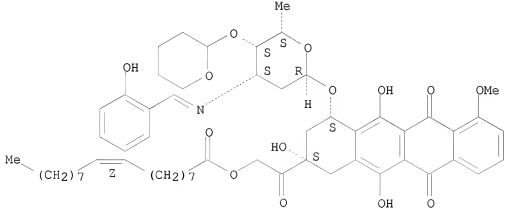
RN 182748-24-9 CAPLUS
CN Hexadecanoic acid, 2-[1,2,3,4,6,11-hexahydro-2,5,12-trihydroxy-7-methoxy-6,11-dioxo-4-[[2,3,6-trideoxy-3-[[[1-[(1-oxohexadecyl)oxy]ethoxy]carbonyl]amino]-4-O-(tetrahydro-2H-pyran-2-yl)-α-L-lyxo-hexopyranosyl]oxy]-2-naphthacenyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



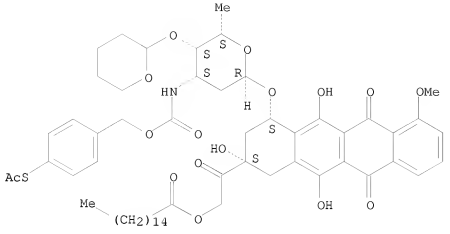
RN 182748-29-4 CAPLUS
CN 9-Octadecenoic acid (9Z)-, 2-[1,2,3,4,6,11-hexahydro-2,5,12-trihydroxy-7-methoxy-6,11-dioxo-4-[[2,3,6-trideoxy-3-[[(2-hydroxyphenyl)methylene]amino]-4-O-(tetrahydro-2H-pyran-2-yl)-α-L-lyxo-hexopyranosyl]oxy]-2-naphthacenyl]-2-oxoethyl ester, [2S-(2α,4α)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



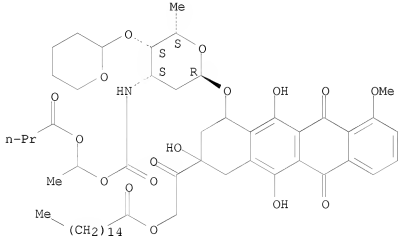
RN 182748-32-9 CAPLUS
CN Hexadecanoic acid, 2-[4-[[3-[[[[4-(acetylthio)phenyl]methoxy]carbonyl]amino]-2,3,6-trideoxy-4-O-(tetrahydro-2H-pyran-2-yl)-α-L-lyxo-hexopyranosyl]oxy]-1,2,3,4,6,11-hexahydro-2,5,12-trihydroxy-7-methoxy-6,11-dioxo-2-naphthacenyl]-2-oxoethyl ester, [2S-(2α,4α)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



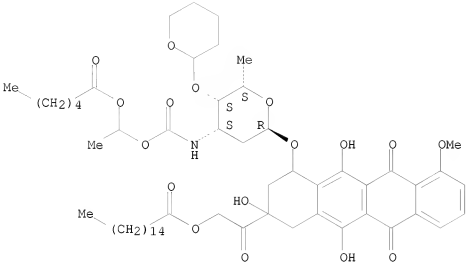
RN 182748-35-2 CAPLUS
CN Hexadecanoic acid, 2-[1,2,3,4,6,11-hexahydro-2,5,12-trihydroxy-7-methoxy-6,11-dioxo-4-[[2,3,6-trideoxy-3-[[[1-(1-oxobutoxy)ethoxy]carbonyl]amino]-4-O-(tetrahydro-2H-pyran-2-yl)-α-L-lyxo-hexopyranosyl]oxy]-2-naphthacenyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



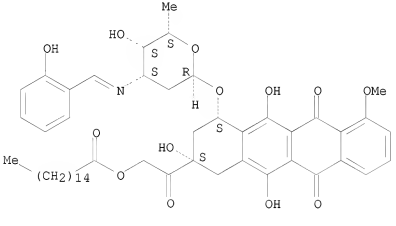
RN 182748-38-5 CAPLUS
CN Hexadecanoic acid, 2-[1,2,3,4,6,11-hexahydro-2,5,12-trihydroxy-7-methoxy-6,11-dioxo-4-[[2,3,6-trideoxy-3-[[[1-[(1-oxohexyl)oxy]ethoxy]carbonyl]amino]-4-O-(tetrahydro-2H-pyran-2-yl)-α-L-lyxo-hexopyranosyl]oxy]-2-naphthacenyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



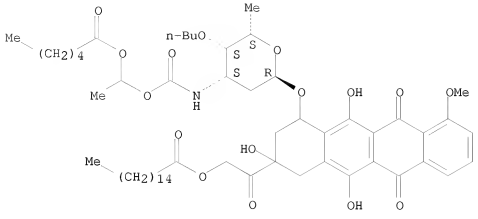
RN 182748-40-9 CAPLUS
CN Hexadecanoic acid, 2-[1,2,3,4,6,11-hexahydro-2,5,12-trihydroxy-7-methoxy-6,11-dioxo-4-[[2,3,6-trideoxy-3-[[(2-hydroxyphenyl)methylene]amino]-α-L-lyxo-hexopyranosyl]oxy]-2-naphthacenyl]-2-oxoethyl ester, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry unknown.



RN 182748-42-1 CAPLUS
CN Hexadecanoic acid, 2-[4-[[4-O-butyl-2,3,6-trideoxy-3-[[[1-[(1-oxohexyl)oxy]ethoxy]carbonyl]amino]-α-L-lyxo-hexopyranosyl]oxy]-1,2,3,4,6,11-hexahydro-2,5,12-trihydroxy-7-methoxy-6,11-dioxo-2-naphthacenyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 182748-44-3 CAPLUS
CN Hexadecanoic acid, 2-[1,2,3,4,6,11-hexahydro-2,5,12-trihydroxy-7-methoxy-6,11-dioxo-4-[[2,3,6-trideoxy-3-[[[1-[(1-oxohexadecyl)oxy]ethoxy]carbonyl]amino]-α-L-lyxo-hexopyranosyl]oxy]-2-naphthacenyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.